Amendments to the Specification

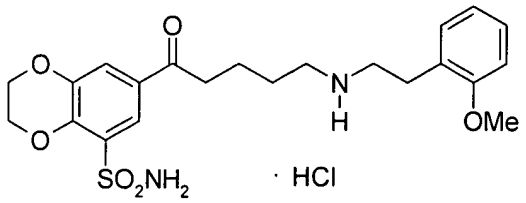
Page 1, immediately after the title, please insert:

This application is a U.S. National Stage of International Application No. PCT/JP02/13653 filed December 26, 2002.

Page 505, please replace the paragraph spanning lines 3-22 with the following rewritten paragraph:

Example 172

7-(5-{[2-(2-Methoxyphenyl)ethyl]amino}pentanoyl)-2,3-dihydro-1,4-benzodioxin-5-sulfonamide hydrochloride



Using tert-butyl 5-[8-(aminosulfonyl)-2,3-dihydro-1,4-benzodioxin-6-yl]-5-oxopentyl[2-(2-methoxyphenyl)ethyl]carbamate (820 mg) obtained in Reference Example 98 according to the same method as that of Example 1 ~~benzodioxin~~, the title compound was obtained as colorless crystals (632 mg) having a melting point of 166 to 168°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.55-1.80 (4H, m), 2.85-3.10 (8H, m), 3.80 (3H, s), 4.30-4.40 (2H, m), 4.40-4.50 (2H, m), 6.90 (1H, t, J = 7.5Hz), 6.99 (1H, d, J = 8.1Hz), 7.17 (1H, d, J = 7.5Hz), 7.25 (1H, t, J = 7.5Hz), 7.36 (2H, s), 7.69 (1H, d, J = 2.1Hz), 7.88 (1H, d, J = 2.1Hz), 8.80-9.15 (2H, br).

elementary analysis as ~~$C_{22}H_{28}ClN_2O_6S\cdot HCl$~~ $C_{22}H_{28}N_2O_6S\cdot HCl$

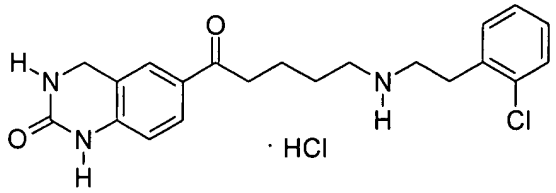
calculation value:C, 54.48; H, 6.03; N, 5.78.

experimental value:C, 54.12; H, 6.13; N, 5.64.

Page 600, please replace the paragraph spanning line 16 through page 601, line 14 with the following rewritten paragraph:

Example 291

6-(5-{[2-(2-Chlorophenyl)ethyl]amino}pentanoyl)-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 177 and 2-(2-chlorophenyl)ethylamine according to the same methods as those of Reference Example 19 and Example 1, the title compound was obtained as colorless crystals having a melting point of 176 to 185°C (dec).

^{1}H NMR (300MHz, DMSO-d_6) δ 1.68 (4H, m), 3.11-2.96 (8H, m), 4.39 (2H, s), 6.86 (1H, d, J = 8.1Hz), 7.04 (1H, s), 7.49-7.28 (4H, m), 7.81-7.77 (2H, m), 9.17 (2H, br.s), 9.47 (1H, s).

elementary analysis as ~~$C_{21}H_{24}N_3O_2 \cdot HCl$~~ $C_{21}H_{24}ClN_3O_2 \cdot HCl$

calculation value:C, 59.72; H, 5.97; N, 9.95.

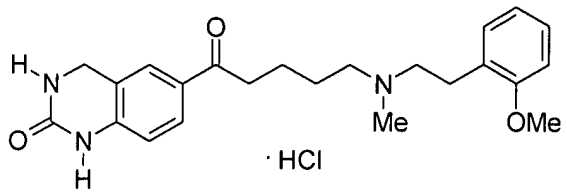
experimental value:C, 59.43; H, 5.69; N, 9.51.

MS m/z: 386 $[M+H]^+$

Page 602, please replace the paragraph spanning line 13 through page 603, line 9 with the following rewritten paragraph:

Example 293

6-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 177 and ~~2-(2-methoxyphenyl)ethylamine~~ N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 170 to 171°C (dec).

^{1}H NMR (300MHz, DMSO-d_6) δ 1.79-1.63 (4H, m), 2.79 (3H, d, J = 4.8Hz), 3.02-2.95 (4H, m), 3.24-3.07 (4H, m), 3.80 (3H, s), 4.38 (2H, m), 6.94-6.84 (2H, m), 7.04-6.99 (2H, m), 7.30-7.20 (2H, m), 7.80-7.77 (2H, m), 9.47 (1H, s), 10.29 (1H, br.s).

elementary analysis as ~~$C_{25}H_{29}N_3O_3$•HCl•1.5H_2O~~ $C_{23}H_{29}N_3O_3$•HCl•1.5H_2O

calculation value:C, 60.19; H, 7.25; N, 9.16.

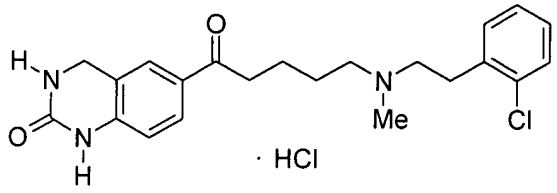
experimental value:C, 60.69; H, 7.17; N, 9.38.

MS m/z: 396 $[M+H]^+$

Page 603, please replace the paragraph spanning line 11 through page 604, line 7 with the following rewritten paragraph:

Example 294

6-{5-[[2-(2-Chlorophenyl)ethyl](methyl)amino]pentanoyl}-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 177 and ~~2-(2-chlorophenyl)ethylamine~~ N-[2-(2-chlorophenyl)ethyl]-

N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 192 to 195°C (dec).

^{1}H NMR (300MHz, DMSO-d_6) δ 1.79-1.64 (4H, m), 2.82 (3H, d, J = 4.8 Hz), 3.02-2.97 (2H, m), 3.26-3.10 (6H, m), 4.38 (2H, s), 6.85 (1H, d, J = 8.1 Hz), 7.04 (1H, s), 7.38-7.30 (2H, m), 7.49-7.44 (2H, m), 7.80-7.76 (2H, m), 9.47 (1H, s), 10.73 (1H, br.s).

elementary analysis as ~~$C_{22}H_{25}N_3O_2$•HCl•0.5H_2O~~ $C_{22}H_{26}ClN_3O_2$•HCl•0.5H_2O

calculation value:C, 59.33; H, 6.34; N, 9.43.

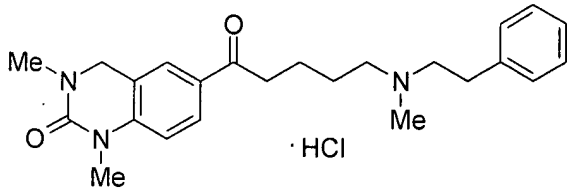
experimental value:C, 59.22; H, 6.77; N, 9.58.

MS m/z: 400 $[M+H]^+$

Page 604, please replace the paragraph spanning line 9 through page 605, line 5 with the following rewritten paragraph:

Example 295

1,3-Dimethyl-6-{5-[methyl(2-phenylethyl)amino]pentanoyl}-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-1,3-dimethyl-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 178 and N-methyl-N-(2-phenylethyl)amine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 174 to 176°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.79-1.62 (4H, m), 2.79 (3H, d, J = 4.8Hz), 2.92 (3H, s), 3.07-3.02 (4H, m), 3.24 (3H,s), 3.41-3.13 (4H, m), 4.45 (2H, s), 7.03 (1H, d, J = 8.7Hz), 7.38-7.24 (5H, m), 7.79 (1H, d, J = 1.8Hz), 7.92 (1H, dd, J = 8.7, 2.1 Hz), 10.58 (1H, br.s).

elementary analysis as ~~$C_{24}H_{32}N_3O_2$•HCl•H_2O~~ $C_{24}H_{31}N_3O_2$•HCl

calculation value:C, 67.04; H, ~~7.05~~ 7.50; N, 9.77.

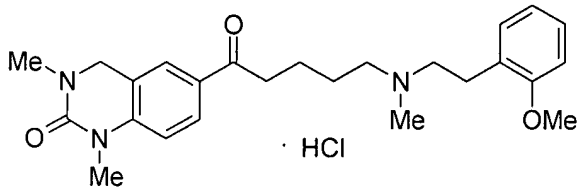
experimental value:C, 66.42; H, 7.67; N, 9.87.

MS m/z: 394 $[M+H]^+$

Page 605, please replace the paragraph spanning line 7 through page 606, line 2 with the following rewritten paragraph:

Example 296

6-{5-[[2-(2-Methoxyphenyl)ethyl](methyl)amino]pentanoyl}-1,3-dimethyl-3,4-dihydro-2(1H)-quinazolinone hydrochloride



Using 6-(5-chloropentanoyl)-1,3-dimethyl-3,4-dihydro-2(1H)-quinazolinone obtained in Reference Example 178 and ~~2-(2-methoxyphenyl)ethylamine~~ N-[2-(2-methoxyphenyl)ethyl]-N-methylamine according to the same method as that of Example 9, the title compound was obtained as colorless crystals having a melting point of 145 to 146°C.

^{1}H NMR (300MHz, DMSO-d_6) δ 1.79-1.65 (4H, m), 2.78 (3H, s), 2.92 (3H, s), 3.18-2.96 (8H, m), 3.24 (3H, s), 3.81 (3H, s), 4.45 (2H, s), 6.94-6.89 (1H, m), 7.04-6.99 (2H, m), 7.30-7.21 (2H, m), 7.79 (1H, d, J = 1.8Hz), 7.92 (1H, dd, J = 8.6, 2.0Hz), 10.57 (1H, br.s).

elementary analysis as ~~$C_{25}H_{34}N_3O_3$•HCl•H_2O~~ $C_{25}H_{33}N_3O_3$•HCl

calculation value:C, 65.27; H, 7.45; N, 9.13.

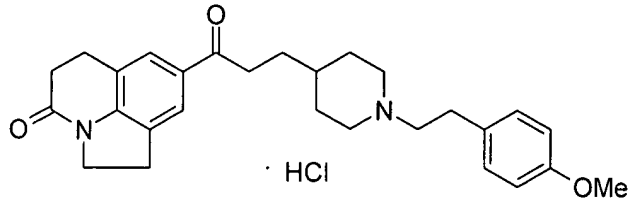
experimental value:C, 64.68; H, 7.58; N, 9.31.

MS m/z: 424 $[M+H]^+$

Page 616, please replace the paragraph spanning line 16 through page 617, line 14 with the following rewritten paragraph:

Example 311

8-(3-{1-[2-(4-Methoxyphenyl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one and 2-(4-methoxyphenyl)ethyl methanesulfonate according to the same method as that of Example 81, the title compound was obtained as colorless crystals having a melting point of 141 to 143°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.30-1.60 (3H, m), 1.65-1.85 (4H, m), 2.00-2.20 (2H, m), 2.60-3.15 (12H, m), 3.23 (2H, t, J = 8.4Hz), 3.78 (3H, s), 4.14 (2H, t, J = 8.4Hz), 6.83 (2H, d, J = 8.6Hz), 7.13 (2H, d, J = 8.6Hz), 7.67 (1H, s), 7.72 (1H, s).

elementary analysis as ~~$C_{28}H_{34}ClN_2O_3 \cdot HCl \cdot H_2O$~~ $C_{28}H_{34}N_2O_3 \cdot HCl \cdot H_2O$

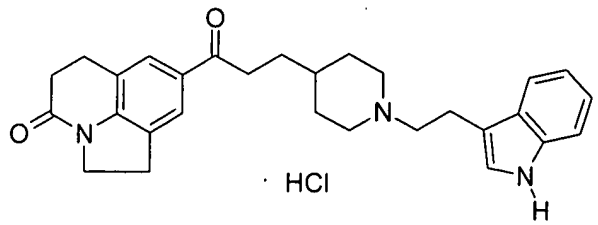
calculation value:C, 67.12; H, 7.44; N, 5.59.

experimental value:C, 67.29; H, 7.56; N, 5.32.

Page 625, please replace the paragraph spanning lines 3-22 with the following rewritten paragraph:

Example 320

8-(3-{1-[2-(1H-Indol-3-yl)ethyl]-4-piperidinyl}propanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and 3-(2-bromoethyl)-1H-indole (394 mg) according to the

same method as that of Example 81, the title compound (370 mg) was obtained as colorless crystals having a melting point of 157 to 159°C.

^{1}H NMR (free base; 300MHz, $CDCl_3$) δ 1.25-1.50 (3H, m), 1.60-1.85 (4H, m), 1.95-2.10 (2H, m), 2.60-2.80 (4H, m), 2.85-3.15 (8H, m), 3.23 (2H, t, J = 8.4Hz), 4.14 (2H, t, J = 8.4Hz), 7.00-7.25 (3H, m), 7.36 (1H, d, J = 8.1Hz), 7.62 (1H, d, J = 8.1Hz), 7.68 (1H, s), 7.73 (1H, s), 8.00-8.25 (1H, br).

elementary analysis as ~~$C_{28}H_{33}N_3O_2 \cdot HCl \cdot H_2O$~~ $C_{29}H_{33}N_3O_2 \cdot HCl \cdot H_2O$

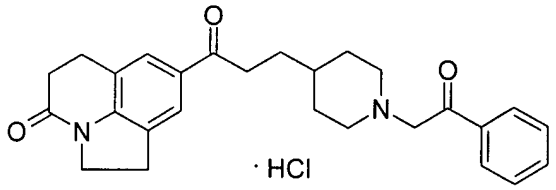
calculation value:C, 68.29; H, 7.11; N, 8.24.

experimental value:C, 68.77; H, 7.44; N, 7.94.

Page 629, please replace the paragraph spanning line 17 through page 630, line 14 with the following rewritten paragraph:

Example 325

8-{3-[1-(2-Oxo-2-phenylethyl)-4-piperidinyl]propanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-[3-(4-piperidinyl)propanoyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (500 mg) and phenacyl chloride (248 mg) according to the same method as that of Example 81, the title compound (301 mg) was obtained as colorless crystals having a melting point of 114 to 116°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.35-1.60 (3H, m), 1.65-1.85 (4H, m), 2.15-2.35 (2H, m), 2.72 (2H, t, J = 7.6Hz), 2.85-3.10 (6H, m), 3.23 (2H, t, J = 8.4Hz), 3.86 (2H, s), 4.14 (2H, t, J = 8.4Hz), 7.40-7.75 (5H, m), 7.98 (1H, s), 8.02 (1H, s).

elementary analysis as ~~$C_{27}H_{32}N_2O_3 \cdot HCl \cdot H_2O$~~ $C_{27}H_{30}N_2O_3 \cdot HCl$

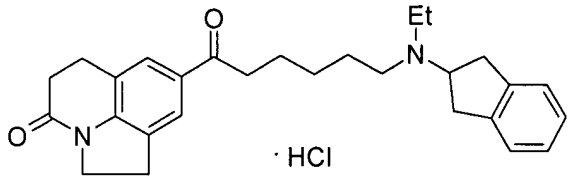
calculation value:~~C, 66.58; H, 7.24; N, 5.75~~ C, 66.86; H, 6.86; N, 5.78.

experimental value:C, 66.64; H, 7.25; N, 5.36.

Page 645, please replace the paragraph spanning line 13 through page 646, line 10 with the following rewritten paragraph:

Example 341

8-{6-[2,3-Dihydro-1H-inden-2-yl(ethyl)amino]hexanoyl}-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one hydrochloride



Using 8-(6-bromohexanoyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (650 mg) obtained in Reference Example 2 and N-(2,3-dihydro-1H-inden-2-yl)-N-ethylamine (330 mg) according to the same method as that of Reference Example 19, the title compound (366 mg) was obtained as colorless crystals having a melting point of 160 to 162°C.

^{1}H NMR (free base; 200MHz, $CDCl_3$) δ 1.20 (3H, t, J = 7.2Hz), 1.30-1.85 (6H, m), 2.50-3.30 (13H, m), 3.60-3.80 (4H, m), 4.10 (2H, t, J = 8.4Hz), 7.05-7.25 (4H, m), 7.67 (1H, s), 7.71 (1H, s).

~~elementary analysis as $C_{25}H_{34}N_2O_2 \cdot HCl \cdot 3.5H_2O$~~

~~calculation value:C, 60.78; H, 8.57; N, 5.67.~~

~~experimental value:C, 61.16; H, 8.12; N, 5.88.~~